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DATE: Thursday, May 06, 2004

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☐ 1. Document ID: US 20030232888 A1

Using default format because multiple data bases are involved.

L2: Entry 1 of 12

File: PGPB

Dec 18, 2003

PGPUB-DOCUMENT-NUMBER: 20030232888

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030232888 A1

TITLE: Methods for identifying and using IKK inhibitors

PUBLICATION-DATE: December 18, 2003

INVENTOR-INFORMATION:

| NAME | CITY | STATE | COUNTRY | RULE-47 |
|--------------------------|----------|-------|---------|---------|
| Karin, Michael | La Jolla | CA | US | |
| Kapahi, Pankaj | Pasadena | CA | US | |
| Santoro, Maria Gabriella | Avellino | | IT | |

US-CL-CURRENT: 514/573

| | | | | | | | | | | | | |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|----------|
| Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | RWOC | Draw. Pa |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|----------|

☐ 2. Document ID: US 20030225527 A1

L2: Entry 2 of 12

File: PGPB

Dec 4, 2003

PGPUB-DOCUMENT-NUMBER: 20030225527

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030225527 A1

TITLE: Crystals and structures of MST3

PUBLICATION-DATE: December 4, 2003

INVENTOR-INFORMATION:

| NAME | CITY | STATE | COUNTRY | RULE-47 |
|---------------------------|-----------|-------|---------|---------|
| Antonyamy, Stephen Suresh | San Diego | CA | US | |
| Feil, Ingeborg | San Diego | CA | US | |
| Buchanan, Sean Grant | Encinitas | CA | US | |
| Xu, Jian | San Diego | CA | US | |

US-CL-CURRENT: 702/19

| Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | RWMC | Draw. De |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|----------|
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☐ 3. Document ID: US 20030224500 A1

L2: Entry 3 of 12

File: PGPB

Dec 4, 2003

PGPUB-DOCUMENT-NUMBER: 20030224500

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030224500 A1

TITLE: Modified MEK1 and MEK2, crystal of a peptide: ligand: cofactor complex containing such modified MEK1 or MEK2, and methods of use thereof

PUBLICATION-DATE: December 4, 2003

INVENTOR-INFORMATION:

| NAME | CITY | STATE | COUNTRY | RULE-47 |
|------------------------------|-------------|-------|---------|---------|
| Ohren, Jeffrey F. | Saline | MI | US | |
| Chen, Huifen | Plymouth | MI | US | |
| Delaney, Amy Marie | Belleville | MI | US | |
| Dudley, David Thomas | Ann Arbor | MI | US | |
| Hasemann, Charles A. JR. | Williamston | MI | US | |
| Kuffa, Peter | Ann Arbor | MI | US | |
| McConnell, Patrick C. | Ann Arbor | MI | US | |
| Pavlovsky, Alexander Gregory | Ann Arbor | MI | US | |
| Tecle, Haile | Ann Arbor | MI | US | |
| Whitehead, Christopher E. | Ypsilanti | MI | US | |
| Yan, Chunhong | Ann Arbor | MI | US | |
| Zhang, Erli | Canton | MI | US | |

US-CL-CURRENT: 435/194; 702/19

| Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | RWMC | Draw. De |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|----------|
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☐ 4. Document ID: US 20030073218 A1

L2: Entry 4 of 12

File: PGPB

Apr 17, 2003

PGPUB-DOCUMENT-NUMBER: 20030073218

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030073218 A1

TITLE: High affinity inhibitors for target validation and uses thereof

PUBLICATION-DATE: April 17, 2003

INVENTOR-INFORMATION:

| NAME | CITY | STATE | COUNTRY | RULE-47 |
|------|------|-------|---------|---------|
|------|------|-------|---------|---------|

Shokat, Kevan M. San Francisco CA US

US-CL-CURRENT: 435/184; 424/94.1

| Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | MMO | Draw. D |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|-----|---------|
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☐ 5. Document ID: US 20030032649 A1

L2: Entry 5 of 12

File: PGPB

Feb 13, 2003

PGPUB-DOCUMENT-NUMBER: 20030032649

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030032649 A1

TITLE: Chimerizing protein kinases for drug discovery

PUBLICATION-DATE: February 13, 2003

INVENTOR-INFORMATION:

| NAME | CITY | STATE | COUNTRY | RULE-47 |
|-------------------------|--------|-------|---------|---------|
| Goldsmith, Elizabeth J. | Dallas | TX | US | |
| Radha, Akella | Plano | TX | US | |
| Gaynor, Richard B. | Dallas | TX | US | |

US-CL-CURRENT: 514/263.1; 435/194, 514/46, 702/19

| Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | MMO | Draw. D |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|-----|---------|
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☐ 6. Document ID: US 20030022196 A1

L2: Entry 6 of 12

File: PGPB

Jan 30, 2003

PGPUB-DOCUMENT-NUMBER: 20030022196

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030022196 A1

TITLE: Methods and compositions for screening for altered cellular phenotypes

PUBLICATION-DATE: January 30, 2003

INVENTOR-INFORMATION:

| NAME | CITY | STATE | COUNTRY | RULE-47 |
|--------------------|---------------------|-------|---------|---------|
| Lorens, James | Portola Valley | CA | US | |
| Kinsella, Todd M. | Fayetteville | CA | US | |
| Masuda, Esteban | Menlo Park | CA | US | |
| Hitoshi, Yasumichi | Mountain view | CA | US | |
| Liao, X. Charlene | Palo Alto | CA | US | |
| Pearsall, Denise | Belmont | CA | US | |
| Friera, Annabelle | South San Francisco | CA | US | |

Chu, Peter

San Francisco

CA

US

US-CL-CURRENT: 435/6; 435/325, 435/455, 435/7.21

| Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | FIGS | Draw. De |
|------|-------|----------|-------|--------|----------------|------|-----------|-----------|-------------|--------|------|----------|
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☐ 7. Document ID: US 6713506 B2

L2: Entry 7 of 12

File: USPT

Mar 30, 2004

US-PAT-NO: 6713506

DOCUMENT-IDENTIFIER: US 6713506 B2

TITLE: Tea polyphenol esters and analogs thereof for cancer prevention and treatment

DATE-ISSUED: March 30, 2004

INVENTOR-INFORMATION:

| NAME | CITY | STATE | ZIP CODE | COUNTRY |
|-----------------|-------|-------|----------|---------|
| Dou; Q. Ping | Tampa | FL | | |
| Nam; Sangkil | Tampa | FL | | |
| Smith; David M. | Tampa | FL | | |

US-CL-CURRENT: 514/450; 514/452, 514/454, 514/455, 514/456, 514/457, 514/458, 514/461, 514/470, 514/471, 514/472, 514/473, 514/513, 514/529, 514/532

ABSTRACT:

Disclosed herein are ester-bond containing tea polyphenols that has a susceptibility to nucleophilic attack, their analogs and pharmaceutically acceptable salts, method for inhibiting proteasomal chymotrypsin-like activity in vivo and in vitro, methods for cancer treatment with tea-derived polyphenols, such as EGCG, ECG, GCG, or CG, as well as pharmaceutical compositions comprising the same.

15 Claims, 20 Drawing figures

Exemplary Claim Number: 1

Number of Drawing Sheets: 22

| Full | Title | Citation | Front | Review | Classification | Date | Reference | | | Claims | FIGS | Draw. De |
|------|-------|----------|-------|--------|----------------|------|-----------|--|--|--------|------|----------|
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☐ 8. Document ID: US 6649654 B1

L2: Entry 8 of 12

File: USPT

Nov 18, 2003

US-PAT-NO: 6649654

DOCUMENT-IDENTIFIER: US 6649654 B1

TITLE: Methods for identifying and using IKK inhibitors

DATE-ISSUED: November 18, 2003

INVENTOR-INFORMATION:

| NAME | CITY | STATE | ZIP CODE | COUNTRY |
|----------------|----------|-------|----------|---------|
| Karin; Michael | La Jolla | CA | | |
| Kapahi; Pankaj | Pasadena | CA | | |

US-CL-CURRENT: 514/530; 514/675

ABSTRACT:

The present invention provides methods and compositions for inhibiting IKK, as well as methods and compositions for identifying compounds with activity as inhibitors of IKK, and methods and compositions for the treatment of diseases and/or conditions wherein IKK is implicated and inhibition of its activity is desired. In addition, the present invention provides methods and compositions for the improving the therapeutic activity of COX2 inhibitors, comprising administering the COX2 to a subject in combination with a compound that inhibits IKK activity. The present invention further provides compositions that comprise compounds that inhibit IKK and COX2.

10 Claims, 20 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 14

| Full | Title | Citation | Front | Review | Classification | Date | Reference | | | Claims | KWMC | Draw De |
|------|-------|----------|-------|--------|----------------|------|-----------|--|--|--------|------|---------|
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☐ 9. Document ID: US 6589758 B1

L2: Entry 9 of 12

File: USPT

Jul 8, 2003

US-PAT-NO: 6589758

DOCUMENT-IDENTIFIER: US 6589758 B1

TITLE: Crystal of a kinase-ligand complex and methods of use

DATE-ISSUED: July 8, 2003

INVENTOR-INFORMATION:

| NAME | CITY | STATE | ZIP CODE | COUNTRY |
|---------------|-----------|-------|----------|---------|
| Zhu; Xiaotian | Watertown | MA | | |

US-CL-CURRENT: 435/15; 435/4, 530/350

ABSTRACT:

The invention relates to the three-dimensional structure of a crystal of a kinase enzyme complexed with a ligand. The three-dimensional structure of a protein kinase-ligand complex is disclosed. The invention also relates to methods of preparing such crystals. Kinase-ligand crystal structures wherein the ligand is an inhibitor molecule are useful for providing structural information that may be integrated into drug screening and drug design processes. Thus, the invention also relates to methods of using the crystal structure of kinase enzyme-ligand complexes

for identifying, designing, selecting, or testing inhibitors of kinase enzymes, such inhibitors being useful as therapeutics for the treatment or modulation of i) diseases; ii) disease symptoms; or iii) the effect of other physiological events mediated by kinases; having one or more kinase enzymes involved in their pathology.

36 Claims, 32 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 13

| Full | Title | Citation | Front | Review | Classification | Date | Reference | | | Claims | FIGS | Draw. De |
|------|-------|----------|-------|--------|----------------|------|-----------|--|--|--------|------|----------|
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☐ 10. Document ID: US 6573044 B1

L2: Entry 10 of 12

File: USPT

Jun 3, 2003

US-PAT-NO: 6573044
DOCUMENT-IDENTIFIER: US 6573044 B1

TITLE: Methods of using chemical libraries to search for new kinase inhibitors

DATE-ISSUED: June 3, 2003

INVENTOR-INFORMATION:

| NAME | CITY | STATE | ZIP CODE | COUNTRY |
|--------------------|---------------|-------|----------|---------|
| Gray; Nathanael S. | Berkeley | CA | | |
| Schultz; Peter | Oakland | CA | | |
| Wodicka; Lisa | Santa Clara | CA | | |
| Meijer; Laurent | Roscoff | | | FR |
| Lockhart; David J. | Mountain View | CA | | |

US-CL-CURRENT: 435/6; 435/91.2, 435/DIG.17, 544/268, 544/276, 544/277

ABSTRACT:

The generation of selective inhibitors for specific protein kinases would provide new tools for analyzing signal transduction pathways and possibly new therapeutic agents. We have invented an approach to the development of selective protein kinase inhibitors based on the unexpected binding mode of 2,6,9-trisubstituted purines to the ATP binding site of human CDK2. The most potent inhibitor, purvalanol B (IC₅₀ = 6 nM), binds with a 30-fold greater affinity than the known CDK2 inhibitor, flavopiridol. The cellular effects of this class of compounds were examined and compared to those of flavopiridol by monitoring changes in mRNA expression levels for all genes in treated cells of *Saccharomyces cerevisiae* using high-density oligonucleotide probe arrays.

11 Claims, 13 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 6

| Full | Title | Citation | Front | Review | Classification | Date | Reference | | | Claims | FIGS | Draw. De |
|------|-------|----------|-------|--------|----------------|------|-----------|--|--|--------|------|----------|
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☐ 11. Document ID: US 6383790 B1

L2: Entry 11 of 12

File: USPT

May 7, 2002

US-PAT-NO: 6383790

DOCUMENT-IDENTIFIER: US 6383790 B1

TITLE: High affinity protein kinase inhibitors

DATE-ISSUED: May 7, 2002

INVENTOR-INFORMATION:

| NAME | CITY | STATE | ZIP CODE | COUNTRY |
|------------------|---------------|-------|----------|---------|
| Shokat; Kevan M. | San Francisco | CA | | |

US-CL-CURRENT: 435/194; 435/184, 514/262.1, 544/262

ABSTRACT:

This invention provides general methods for discovering mutant inhibitors for any class of enzymes as well as the specific inhibitors so identified. More specifically, this invention provides general methods for discovering specific inhibitors for multi-substrate enzymes. Examples of such multi-substrate enzymes include, but are not limited to, kinases and transferases. The mutant inhibitors identified by the methods of this invention can be used to highly selectively disrupt cell functions such as oncogenic transformation. In one particular example, this invention provides a Src protein kinase inhibitor, pharmaceutical compositions thereof and methods of disrupting transformation in a cell that expresses the target v-scr comprising contacting the cell with the protein kinase inhibitor.

60 Claims, 65 Drawing figures

Exemplary Claim Number: 1

Number of Drawing Sheets: 37

| | | | | | | | | | | | |
|------|-------|----------|-------|--------|----------------|------|-----------|----------|--------|-----|--------|
| Full | Title | Citation | Front | Review | Classification | Date | Reference | Abstract | Claims | KMC | Draw D |
|------|-------|----------|-------|--------|----------------|------|-----------|----------|--------|-----|--------|

☐ 12. Document ID: US 20030032649 A1

L2: Entry 12 of 12

File: DWPI

Feb 13, 2003

DERWENT-ACC-NO: 2003-492078

DERWENT-WEEK: 200346

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TITLE: Novel chimeric protein kinase for identifying inhibitor molecules, has inhibitor binding site of first protein kinase which bind to inhibitor and amino acids of second protein kinase which do not bind to inhibitor

INVENTOR: GAYNOR, R B; GOLDSMITH, E J ; RADHA, A

PRIORITY-DATA: 2001US-0918873 (July 31, 2001)

PATENT-FAMILY:

| PUB-NO | PUB-DATE | LANGUAGE | PAGES | MAIN-IPC |
|-------------------|-------------------|----------|-------|------------|
| US 20030032649 A1 | February 13, 2003 | | 021 | C12N009/12 |

INT-CL (IPC): A61 K 31/52; C12 N 9/12; G01 N 33/48; G01 N 33/50; G06 F 19/00

ABSTRACTED-PUB-NO: US20030032649A

BASIC-ABSTRACT:

NOVELTY - A chimeric protein kinase (I) having an inhibitor binding site comprising amino acid (aa) residues of a first protein kinase (K1) which bind an inhibitor and (aa) residues of a second protein kinase (K2) which do not bind the inhibitor, is new.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:

(1) a crystal (II) comprising (I); and

(2) a protein kinase inhibitor binding site whose (aa) sequence corresponds to an (aa) sequence of, and has three-dimensional structural homology to, a protein kinase domain starting with linker L5 (residues 76-83) that joins helix C (residues 63-75) with beta 4 (residues 84-89), the crossover connection (L7) (residues 106-109) and ending at a C-terminus (beta L16) (residues 310-336), where the domain is described according to residues of p38.

USE - (I) is useful for identifying inhibitor molecules capable of affecting the activity of first protein kinase, by preparing (I), growing a crystal of (I), solving the structure of crystal of chimeric protein kinase, using X-ray crystallography methods, and using the structure to design inhibitor molecules capable of affecting the activity of the first protein kinase (claimed). The structure of (I) is useful for the rational drug design of inhibitors of non-crystallizable protein kinase.

| | | | | | | | | | | | | |
|------|-------|----------|-------|--------|----------------|------|-----------|--|--|--------|------|--------|
| Full | Title | Citation | Front | Review | Classification | Date | Reference | | | Claims | KWIC | Draw D |
|------|-------|----------|-------|--------|----------------|------|-----------|--|--|--------|------|--------|

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